PALM INTRANET

Day : Wednesday
Date: 3/7/2007
Time: 15:09:15

Inventor Information for 08/711339

Inventor Name	City	State/Country
BINGGELI, ALFRED	FLUH	SWITZERLAND
BREU, VOLKER	SCHLIENGEN	GERMANY
BUR, DANIEL	BASLE	SWITZERLAND
FISCHLI, WALTER	ALLSCHWIL	SWITZERLAND
GULLER, ROLF	RHEINFELDEN	SWITZERLAND
HIRTH, GEORGES	HUNINGUE	FRANCE
MARKI, HANS-PETER	BASLE	SWITZERLAND
MULLER, MARCEL	FRENKENDORF	SWITZERLAND
OEFNER, CHRISTIAN	FREIBURG	GERMANY
STADLER, HEINZ	RHEINFELDEN	SWITZERLAND
VIEIRA, ERIC	BASLE	SWITZERLAND
WILHELM, MAURICE	MORSCHWILLER LE BAS	FRANCE
WOSTL, WOLFGANG	GRENZACH-WYHLEN	GERMANY

Appln Info | Contents | Petition Info | Atty/Agent Info | Continuity/Reexam | Foreign D

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SRNT

L8 ANSWER 32 OF 36 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:227936 CAPLUS <<LOGINID::20070307>>
DOCUMENT NUMBER: 130:282070
TITLE: Preparation of N-[[1-(4-cyanobenzyl)-1H-imidazol-5-yl]methyl]piperidines and analogs as farnesyl protein transferase inhibitors
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Wai, John S.; Embrey, Mark W.; Fisher, Thorsten E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 91 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5891889	A	19990406	US 1997-831308		19970401
US 6248756	B1	20010619	US 1999-248883		19990211
PRIORITY APPLN. INFO.:			US 1996-14791P	P	19960403
			US 1997-831308	A3	19970401

OTHER SOURCE(S): MARPAT 130:282070
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is directed to compds. which inhibit farnesyl-protein transferase (FPTase) and the farnesylation of the oncogene protein Ras. The invention is further directed to chemotherapeutic compns. containing the compds., and methods for inhibiting FPTase and Ras farnesylation using them. In particular, title compds. I and II and their pharmaceutically acceptable salts are claimed [wherein Ar = (un)substituted Ph; R1 = H, Me; Q1 = (un)substituted (CH2)0-4; X = bond, CH2, CO, (un)substituted NHCO, S, SO, or SO2; Y = H, (un)substituted alkyl, OH or derivs., SH or derivs., NH2 or derivs., etc.; X1 = bond, (un)substituted NHCO or NH, O, S, SO, SO2; A1,A2 = bond, CH:CH, CO, O, (alkyl)imino, etc.; Q2 = (un)substituted (CH2)0-2; Z = (un)substituted aryl; addnl. substituents allowed on piperidine ring]. Over 130 invention compds. and numerous intermediates were prepared For instance, the invention compound III was claimed in particular, and was prepared in 5 steps. Thus, Et isonipecotate underwent a sequence of: (1) N-protection with BOC; (2) deprotonation and alkylation in the 4-position using NaN(SiMe3)2 and 3-(CF3O)C6H4CH2Br; (3) reduction of the Et ester to a hydroxymethyl group using LiAlH4; (4) removal of the BOC group with HCl; and (5) reductive alkylation at N using 1-(4-cyanobenzyl)imidazole-5-carboxaldehyde and NaBH3CN, yielding III after chromatog. In a test for inhibition of farnesylation of Ras-CVIM with human FPTase in vitro, almost all example compds. had IC50 of ≤ 50 μM.

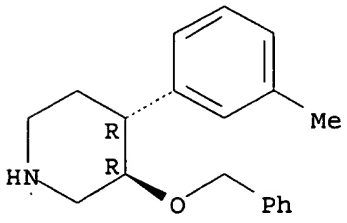
IT **198649-16-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of [[(cyanobenzyl)imidazolyl]methyl]piperidines and analogs as farnesyl protein transferase inhibitors)

RN 198649-16-0 CAPLUS

CN Piperidine, 4-(3-methylphenyl)-3-(phenylmethoxy)-, hydrochloride, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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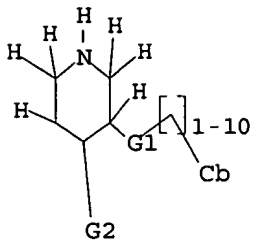
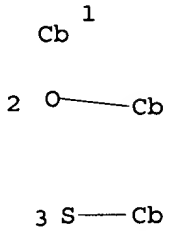
L1 HAS NO ANSWERS
L1 STR





G1 O,S
G2 [@1], [@2], [@3]

Structure attributes must be viewed using STN Express query preparation.

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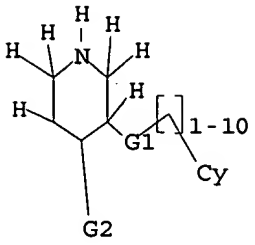
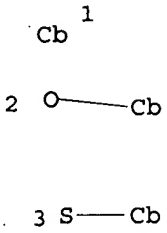
L9 STR





G1 O,S

G2 [@1],[@2],[@3]

Structure attributes must be viewed using STN Express query preparation.

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(FILE 'CAPLUS' ENTERED AT 09:53:28 ON 07 MAR 2007)
DEL HIS

FILE 'REGISTRY' ENTERED AT 09:56:03 ON 07 MAR 2007

L1		STRUCTURE UPLOADED
L2		QUE L1
L3	3	S L1
L4	1234	S L1 FUL
L5	924	S L4 AND CAPLUS/LC
L6	310	S L4 NOT L5
L7	0	S L4 AND REF.CAPLUS>10

FILE 'CAPLUS' ENTERED AT 10:02:34 ON 07 MAR 2007

L8	36	S L4

FILE 'REGISTRY' ENTERED AT 10:12:48 ON 07 MAR 2007

L9		STRUCTURE UPLOADED
L10		QUE L9
L11	6	S L9
L12	1848	S L9 FUL
L13	614	S L12 NOT L4
L14	602	S L13 AND CAPLUS/LC
L15	12	S L13 NOT L14
L16	0	S L13 AND REF.CAPLUS>10

FILE 'CAPLUS' ENTERED AT 10:16:48 ON 07 MAR 2007
L17 18 S L13

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